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L3: Entry 4 of 10

File: DWPI

Dec 10, 1992

DERWENT-ACC-NO: 1993-030484

DERWENT-WEEK: 199304

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TITLE: Fat emulsion contg. prostaglandin-I2 deriv. - useful for treating thrombosis

PRIORITY-DATA: 1983JP-0122900 (July 5, 1983), 1991JP-0212608 (July 5, 1983)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 04356422 A	December 10, 1992	N/A	004	A61K031/557

INT-CL (IPC): A61K 9/107; A61K 31/557; C07D 307/935

ABSTRACTED-PUB-NO: JP04356422A

BASIC-ABSTRACT:

Fat emulsion contg. prostaglandin I2 (PGI2) deriv. of formula (I) is new. In (I), R1 is 1-20C alkyl; R2 is H or lower alkyl; and X is C(O)-O.

USE/ADVANTAGE - This can keep the PGI2 deriv. stable chemically and give the same efficacy as PGI2. In the treatment of thrombosis, (I) is i.v. administered to an adult at a single dose of 1-50 micro-g, pref. 3-6 micro-g.

In an example, egg yolk phosphatidylcholine (24.0g), butoxycarbonylme thyl PGI2 ester (10mg), Na oleate and phosphatidic acid (0.5g) were dissolved in purified soybean oil (100.0g) by heating at 40-75 deg.C. The soln. was added with distilled water (1000ml) and emulsified by passing 10 times through a Manton-Gaulin-type homogeniser under a first-stage pressure of 100 kg/cm2 and a total pressure of 450kg/cm2. The emulsion was added with glycerol (0.5g), followed by distilled water for injection (400ml) and coarsely emulsified in homomixer. The emulsion was further emulsified by passing 10 times through a Manton-Gaulin-type homogeniser (first-stage pressure of 120 kg/cm2, total of 500 kg/cm2) to give finely dispersed fat emulsion contg. PGI2 deriv. The emulsion, 0.2-0.4 microns in average size of droplets, contained droplets of 1 micron or above in size.

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L3: Entry 6 of 10

File: DWPI

May 7, 1997

DERWENT-ACC-NO: 1990-364833

DERWENT-WEEK: 199723

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TITLE: Agents for treating nervous disorders arising from diabetes - are based on Beraprost, a prostaglandin-12 deriv.

PRIORITY-DATA: 1989JP-0083069 (March 31, 1989)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 2608135 B2	May 7, 1997	N/A	004	A61K031/557
JP 02262519 A	October 25, 1990	N/A	005	N/A

INT-CL (IPC): A61K 31/55; A61K 31/557; C07D 307/93

ABSTRACTED-PUB-NO: JP02262519A

BASIC-ABSTRACT:

Therapeutic agents for treating nervous disorders caused by diabetes contain, as active substance, (+-) -(1Rstar, 2Rstar, 3aSstar, 8bSstar)-2,3,3a,8b-tetrahydro-2-hydroxy-1-((E)-(3Sstar)-3-hydroxy-4-methyl-1-octen-6-ynyl)-1H-cyclopenta (b) benzofuran-5-butyric acid (I) or its salt.

(I) is the prostaglandin-12 deriv. "beraprost" (PGI₂). Suitable salt of (I) are alkali metal (e.g., Na or K) salts, alkaline earth metal (e.g., Mg or Ca) salts, ammonium salt or amine salt. Oral doses are 10-200 mg/day.

ADVANTAGE - (I) is more stable in aqueous solutions than PGI₂.